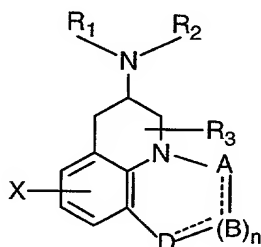


CLAIMS

## WHAT IS CLAIMED IS:

1. A method of treating sexual disturbances in a human who is in need of such treatment which comprises administering a sexually therapeutically effective amount of a compound
- 5 of the formula (A)



where

R<sub>1</sub>, R<sub>2</sub> and R<sub>3</sub> are the same or different and are:

- 10                    -H,  
                      C<sub>1</sub>-C<sub>6</sub> alkyl,  
                      C<sub>3</sub>-C<sub>5</sub> alkenyl,  
                      C<sub>3</sub>-C<sub>5</sub> alkynyl,  
                      C<sub>3</sub>-C<sub>5</sub> cycloalkyl,  
                      C<sub>4</sub>-C<sub>10</sub> cycloalkyl,  

15                    phenyl substituted C<sub>1</sub>-C<sub>6</sub> alkyl,  
                      -NR<sub>1</sub>R<sub>2</sub> where R<sub>1</sub> and R<sub>2</sub> are cyclized with the attached nitrogen atom to  
 produce pyrrolidiyl, piperidiny, morphoniny, 4-methyl piperaziny or imidazolyl;

X is:

- 20                    -H,  
                      C<sub>1</sub>-C<sub>6</sub> alkyl,  
                      -F, -Cl, -Br, -I,  
                      -OH,  
                      C<sub>1</sub>-C<sub>6</sub> alkoxy,  
                      cyano,  

25                    carboxamide,  
                      carboxyl,  
                      (C<sub>1</sub>-C<sub>6</sub> alkoxy)carbonyl,

A is:

CH,

CH<sub>2</sub>,

CH-(halogen) where halogen is -F, -Cl, -Br, -I,

CHCH<sub>3</sub>,

C=O,

5

C=S,

C-SCH<sub>3</sub>,

C=NH,

C-NH<sub>2</sub>,C-NHCH<sub>3</sub>,

10

C-NHCOOCH<sub>3</sub>,

C-NHCN,

SO<sub>2</sub>,

N;

B is:

15

CH<sub>2</sub>,

CH,

CH-(halogen) where halogen is as defined above,

C=O,

N,

20

NH,

N-CH<sub>3</sub>,

D is:

CH,

CH<sub>2</sub>,

25

CH-(halogen) where halogen is as defined above,

C=O,

O,

N,

NH,

30

N-CH<sub>3</sub>;

and n is 0 or 1, and where  $\text{-----}$  is a single or double bond, with the provisos:

(1) that when n is 0, and

A is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, CHCH<sub>3</sub>, C=O, C=S, C=NH, SO<sub>2</sub>,

then D is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, C=O, O, NH, N-CH<sub>3</sub>;

(2) that when n is 0, and

A is CH, C-SCH<sub>3</sub>, C-NH<sub>2</sub>, C-NHCH<sub>3</sub>, C-NHCOOCH<sub>3</sub>, C-NHCN, N; then

5 D is CH, N;

(3) that when n is 1, and

A is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, CHCH<sub>3</sub>, C=O, C=S, C=NH, SO<sub>2</sub>; and

10 B is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, C=O, NH, N-CH<sub>3</sub>; then

D is CH<sub>2</sub>, C=O, O, NH, N-CH<sub>3</sub>;

(4) that when n is 1, and

A is CH, C-SCH<sub>3</sub>, C-NH<sub>2</sub>, C-NHCH<sub>3</sub>, C-NHCOOCH<sub>3</sub>, C-NHCN, N; and

B is CH, N; then

15 D is CH<sub>2</sub>, C=O, O, NH, N-CH<sub>3</sub>;

(5) that when n is 1, and

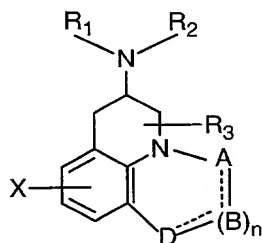
A is CH<sub>2</sub>, CHCH<sub>3</sub>, C=O, C=S, C=NH, SO<sub>2</sub>, and

B is CH, N; then

D is CH, N; and pharmaceutically acceptable salts thereof to the human.

20 2. A method of treating sexual disturbances according to claim 1 where the compound of formula (A) is (5R)-5-(methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione.

3. A method of inducing mating a non-human mammal which comprises administering a  
25 sexually mating amount of a compound of the formula (A)



where

R<sub>1</sub>, R<sub>2</sub> and R<sub>3</sub> are the same or different and are:

-H,

C<sub>1</sub>-C<sub>6</sub> alkyl,

C<sub>3</sub>-C<sub>5</sub> alkenyl,

C<sub>3</sub>-C<sub>5</sub> alkynyl,

C<sub>3</sub>-C<sub>5</sub> cycloalkyl,

5 C<sub>4</sub>-C<sub>10</sub> cycloalkyl,

phenyl substituted C<sub>1</sub>-C<sub>6</sub> alkyl,

-NR<sub>1</sub>R<sub>2</sub> where R<sub>1</sub> and R<sub>2</sub> are cyclized with the attached nitrogen atom to produce pyrrolidiyl, piperidiny, morphoniny, 4-methyl piperaziny or imidazolyl;

X is:

10 -H,  
C<sub>1</sub>-C<sub>6</sub> alkyl,  
-F, -Cl, -Br, -I,  
-OH,

C<sub>1</sub>-C<sub>6</sub> alkoxy,  
15 cyano,  
carboxamide,  
carboxyl,  
(C<sub>1</sub>-C<sub>6</sub> alkoxy)carbonyl,

A is:

20 CH,  
CH<sub>2</sub>,  
CH-(halogen) where halogen is -F, -Cl, -Br, -I,  
CHCH<sub>3</sub>,  
C=O,  
25 C=S,  
C-SCH<sub>3</sub>,  
C=NH,  
C-NH<sub>2</sub>,  
C-NHCH<sub>3</sub>,  
30 C-NHCOOCH<sub>3</sub>,  
C-NHCN,  
SO<sub>2</sub>,  
N;

B is:

CH<sub>2</sub>,

CH,

CH-(halogen) where halogen is as defined above,

C=O,

5

N,

NH,

N-CH<sub>3</sub>,

D is:

CH,

10

CH<sub>2</sub>,

CH-(halogen) where halogen is as defined above,

C=O,

O,

N,

15

NH,

N-CH<sub>3</sub>;

and n is 0 or 1, and where  $\text{---}$  is a single or double bond, with the provisos:

(1) that when n is 0, and

A is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, CHCH<sub>3</sub>, C=O,

20

C=S, C=NH, SO<sub>2</sub>;

then D is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, C=O, O,

NH, N-CH<sub>3</sub>;

(2) that when n is 0, and

A is CH, C-SCH<sub>3</sub>, C-NH<sub>2</sub>, C-NHCH<sub>3</sub>, C-NHCOOCH<sub>3</sub>, C-NHCN, N; then

25

D is CH, N;

(3) that when n is 1, and

A is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, CHCH<sub>3</sub>, C=O,

C=S, C=NH, SO<sub>2</sub>; and

B is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, C=O, NH, N-

30

CH<sub>3</sub>; then

D is CH<sub>2</sub>, C=O, O, NH, N-CH<sub>3</sub>;

(4) that when n is 1, and

A is CH, C-SCH<sub>3</sub>, C-NH<sub>2</sub>, C-NHCH<sub>3</sub>, C-NHCOOCH<sub>3</sub>, C-NHCN, N; and

B is CH, N; then

D is CH<sub>2</sub>, C=O, O, NH, N-CH<sub>3</sub>;

(5) that when n is 1, and

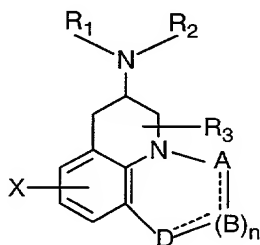
A is CH<sub>2</sub>, CHCH<sub>3</sub>, C=O, C=S, C=NH, SO<sub>2</sub>, and

B is CH, N; then

5 D is CH, N; and pharmaceutically acceptable salts thereof.

4. A method of inducing mating according to claim 3 where the compound of formula (A) is (5R)-5-(methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione.

5. A method of treating a sexual deficiency state in a human who has epilepsy,  
10 craniopharyngioma, hypogonadism or who has had a hysterectomy/oophorectomy,  
hysterectomy or oophorectomy which comprises administering a sexually therapeutically  
effective amount of a compound of the formula (A)



where

15 R<sub>1</sub>, R<sub>2</sub> and R<sub>3</sub> are the same or different and are:

-H,

C<sub>1</sub>-C<sub>6</sub> alkyl,

C<sub>3</sub>-C<sub>5</sub> alkenyl,

C<sub>3</sub>-C<sub>5</sub> alkynyl,

20 C<sub>3</sub>-C<sub>5</sub> cycloalkyl,

C<sub>4</sub>-C<sub>10</sub> cycloalkyl,

phenyl substituted C<sub>1</sub>-C<sub>6</sub> alkyl,

-NR<sub>1</sub>R<sub>2</sub> where R<sub>1</sub> and R<sub>2</sub> are cyclized with the attached nitrogen atom to  
produce pyrrolidyl, piperidinyl, morphoninyl, 4-methyl piperazinyl or imidazolyl;

25 X is:

-H,

C<sub>1</sub>-C<sub>6</sub> alkyl,

-F, -Cl, -Br, -I,

-OH,

C<sub>1</sub>-C<sub>6</sub> alkoxy,  
 cyano,  
 carboxamide,  
 carboxyl,  
 (C<sub>1</sub>-C<sub>6</sub> alkoxy)carbonyl,

5

A is:

CH,  
 CH<sub>2</sub>,  
 CH-(halogen) where halogen is -F, -Cl, -Br, -I,

10

CHCH<sub>3</sub>,  
 C=O,  
 C=S,  
 C-SCH<sub>3</sub>,  
 C=NH,  
 C-NH<sub>2</sub>,  
 C-NHCH<sub>3</sub>,  
 C-NHCOOCH<sub>3</sub>,  
 C-NHCN,

15

SO<sub>2</sub>,

20

N;

B is:

CH<sub>2</sub>,  
 CH,  
 CH-(halogen) where halogen is as defined above,  
 C=O,  
 N,  
 NH,  
 N-CH<sub>3</sub>,

25

D is:

CH,  
 CH<sub>2</sub>,  
 CH-(halogen) where halogen is as defined above,  
 C=O,  
 O,

30

N,  
 NH,  
 N-CH<sub>3</sub>;

and n is 0 or 1, and where  $\text{-----}$  is a single or double bond, with the provisos:

5 (1) that when n is 0, and

A is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, CHCH<sub>3</sub>, C=O, C=S, C=NH, SO<sub>2</sub>;

then D is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, C=O, O, NH, N-CH<sub>3</sub>;

10 (2) that when n is 0, and

A is CH, C-SCH<sub>3</sub>, C-NH<sub>2</sub>, C-NHCH<sub>3</sub>, C-NHCOOCH<sub>3</sub>, C-NHCN, N; then D is CH, N;

(3) that when n is 1, and

15 A is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, CHCH<sub>3</sub>, C=O, C=S, C=NH, SO<sub>2</sub>; and

B is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, C=O, NH, N-CH<sub>3</sub>; then

D is CH<sub>2</sub>, C=O, O, NH, N-CH<sub>3</sub>;

(4) that when n is 1, and

20 A is CH, C-SCH<sub>3</sub>, C-NH<sub>2</sub>, C-NHCH<sub>3</sub>, C-NHCOOCH<sub>3</sub>, C-NHCN, N; and B is CH, N; then

D is CH<sub>2</sub>, C=O, O, NH, N-CH<sub>3</sub>;

(5) that when n is 1, and

A is CH<sub>2</sub>, CHCH<sub>3</sub>, C=O, C=S, C=NH, SO<sub>2</sub>, and

25 B is CH, N; then

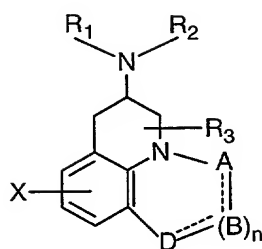
D is CH, N; and pharmaceutically acceptable salts thereof to the human.

6. A method of treating a sexual deficiency state according to claim 5 where the compound of formula (A) is (5R)-5-(methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione.

30

7. A method of increasing sexual desire, interest or performance in a human who is desirous thereof which comprises administering a sexually useful effective amount of a compound of the formula (A)





where

$R_1$ ,  $R_2$  and  $R_3$  are the same or different and are:

- H,
- 5  $C_1$ - $C_6$  alkyl,
- $C_3$ - $C_5$  alkenyl,
- $C_3$ - $C_5$  alkynyl,
- $C_3$ - $C_5$  cycloalkyl,
- $C_4$ - $C_{10}$  cycloalkyl,
- 10 phenyl substituted  $C_1$ - $C_6$  alkyl,
- $NR_1R_2$  where  $R_1$  and  $R_2$  are cyclized with the attached nitrogen atom to produce pyrrolidiyl, piperidiny, morphoninyl, 4-methyl piperazinyl or imidazolyl;

X is:

- H,
- 15  $C_1$ - $C_6$  alkyl,
- F, -Cl, -Br, -I,
- OH,
- $C_1$ - $C_6$  alkoxy,
- cyano,
- 20 carboxamide,
- carboxyl,
- ( $C_1$ - $C_6$  alkoxy)carbonyl,

A is:

- CH,
- 25  $CH_2$ ,
- CH-(halogen) where halogen is -F, -Cl, -Br, -I,
- $CHCH_3$ ,
- $C=O$ ,
- $C=S$ ,

C-SCH<sub>3</sub>,

C=NH,

C-NH<sub>2</sub>,C-NHCH<sub>3</sub>,5 C-NHCOOCH<sub>3</sub>,

C-NHCN,

SO<sub>2</sub>,

N;

B is:

10 CH<sub>2</sub>,

CH,

CH-(halogen) where halogen is as defined above,

C=O,

N,

15 NH,

N-CH<sub>3</sub>,

D is:

CH,

CH<sub>2</sub>,

20 CH-(halogen) where halogen is as defined above,

C=O,

O,

N,

NH,

25 N-CH<sub>3</sub>;

and n is 0 or 1, and where  $\text{---}$  is a single or double bond, with the provisos:

(1) that when n is 0, and

A is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, CHCH<sub>3</sub>, C=O, C=S, C=NH, SO<sub>2</sub>;

30 then D is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, C=O, O, NH, N-CH<sub>3</sub>;

(2) that when n is 1, and

A is CH, C-SCH<sub>3</sub>, C-NH<sub>2</sub>, C-NHCH<sub>3</sub>, C-NHCOOCH<sub>3</sub>, C-NHCN, N; then

D is CH, N;

(3) that when n is 1, and

A is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, CHCH<sub>3</sub>, C=O, C=S, C=NH, SO<sub>2</sub>; and

5 B is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, C=O, NH, N-CH<sub>3</sub>; then

D is CH<sub>2</sub>, C=O, O, NH, N-CH<sub>3</sub>;

(4) that when n is 1, and

A is CH, C-SCH<sub>3</sub>, C-NH<sub>2</sub>, C-NHCH<sub>3</sub>, C-NHCOOCH<sub>3</sub>, C-NHCN, N; and

B is CH, N; then

10 D is CH<sub>2</sub>, C=O, O, NH, N-CH<sub>3</sub>;

(5) that when n is 1, and

A is CH<sub>2</sub>, CHCH<sub>3</sub>, C=O, C=S, C=NH, SO<sub>2</sub>, and

B is CH, N; then

D is CH, N; and pharmaceutically acceptable salts thereof to the human.

15 8. A method of increasing sexual desire, interest or performance in a human who is desirous thereof according to claim 7 where the compound of formula (A) is (5R)-5-(methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione.

9. (5R)-5-(methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione and  
20 pharmaceutically acceptable salts thereof.

10. A compound according to claim 9 which is (5R)-5-(Methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione malate.

25